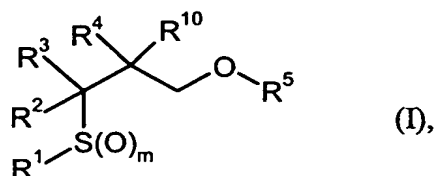


Claims

1. A compound of the formula



in which

R^1 and R^2 are independently of one another phenyl which is optionally substituted by radicals selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -alkoxy and C_1 - C_6 -alkylthio,

R^3 and R^4 are independently of one another hydrogen, C_1 - C_6 -alkyl or C_3 - C_8 -cycloalkyl, which are optionally substituted by hydroxy,

m is 1 or 2,

R^5 is hydrogen,

or a radical of the formula $\text{CO-NR}^6\text{R}^7$ in which

R^6 and R^7 are independently of one another hydrogen, C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl, benzyl, phenethyl, phenyl or 5- to 6-membered heteroaryl, where C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl, phenyl or 5- to 6-membered heteroaryl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, C_1 - C_6 -alkylamino, aminosulfonyl, aminocarbonyl, cyano, formamido,

acetamido, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₃-C₈-cycloalkyl, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl and 5- to 6-membered heteroaryl, and

benzyl and phenethyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminocarbonyl, C₁-C₆-alkylamino, aminosulfonyl, cyano, formamido, acetamido, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₃-C₈-cycloalkyl and 5- to 6-membered heteroaryl,

or in which

the group NR⁶R⁷

is a 4- to 10-membered heterocyclyl radical which is linked via the nitrogen atom and which is optionally substituted by radicals independently of one another selected from the group of C₁-C₆-alkyl, C₁-C₆-alkoxy, 1,3-dioxapropane-1,3-diyl, 1,4-dioxabutane-1,4-diyl, oxo, C₃-C₈-cycloalkyl, hydroxy, halogen, cyano, C₁-C₆-alkylcarbonyl, C₃-C₈-cycloalkylcarbonyl, phenylcarbonyl, formamido, aminosulfonyl, C₁-C₆-alkoxycarbonyl, aminocarbonyl, phenyl and 5- to 6-membered heteroaryl,

where phenyl is optionally substituted by radicals independently of one another selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C₁-C₆-alkyl, C₁-C₆-alkoxy and C₁-C₆-alkylsulfonamino, and

C₁-C₆-alkyl is optionally substituted by radicals independently of one another selected from the group of hydroxy, C₁-C₆-alkoxy, phenyl and 5- to 6-membered heteroaryl, and

5 C₁-C₆-alkylcarbonyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and C₁-C₆-alkoxy,

10 and where 4- to 10-membered heterocyclyl is optionally benzo-substituted,

or

15 a radical of the formula CO-OR⁸ in which

20 R⁸ is C₁-C₆-alkyl or C₃-C₈-cycloalkyl, which are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminosulfonyl, aminocarbonyl, cyano, formamido, acetamido, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₃-C₈-cycloalkyl, C₁-C₆-alkylcarbonyl, phenyl and 5- to 6-membered heteroaryl,

or

25 a radical of the formula CO-R⁹ in which

30 R⁹ is C₁-C₆-alkyl, C₃-C₈-cycloalkyl, C₆-C₁₀-aryl or 5- to 10-membered heteroaryl, which are optionally substituted by radicals selected from the group of hydroxy, hydroxycarbonyl, halogen, aminosulfonyl, carboxamido, cyano, formamido,

acetamido, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₃-C₈-cycloalkyl, C₁-C₆-alkylcarbonyl, phenyl and 5- to 6-membered heteroaryl,

R¹⁰ is hydrogen or C₁-C₆-alkyl,

5

and the salts, solvates and solvates of the salts thereof.

2. A compound of the formula (I) in which

10

R¹ and R² are independently of one another phenyl which is optionally substituted by radicals selected from the group of halogen, cyano, trifluoromethyl,

15

R³ and R⁴ are independently of one another hydrogen, C₁-C₄-alkyl or C₃-C₆-cycloalkyl, which are optionally substituted by hydroxy,

m is 1 or 2,

20

R⁵ is hydrogen,

or

a radical of the formula CO-NR⁶R⁷ in which

25

R⁶ is hydrogen, C₁-C₄-alkyl,

30

R⁷ is hydrogen, C₁-C₄-alkyl, C₃-C₆-cycloalkyl, benzyl, phenethyl or phenyl, where C₁-C₄-alkyl, C₃-C₆-cycloalkyl and phenyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminocarbonyl, hydroxycarbonyl, cyano, C₁-C₄-alkylamino, C₁-C₄-alkyl, C₁-

C₄-alkoxy, C₃-C₆-cycloalkyl, C₁-C₄-alkoxycarbonyl and 5- to 6-membered heteroaryl, and

benzyl and phenethyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminocarbonyl, cyano, C₁-C₄-alkylamino, C₁-C₄-alkyl, C₁-C₄-alkoxy, C₃-C₆-cycloalkyl and 5- to 6-membered heteroaryl,

or in which

the group NR⁶R⁷

is a 5- to 6-membered heterocyclyl radical which is linked via the nitrogen atom and which is optionally substituted by radicals independently of one another selected from the group of C₁-C₄-alkyl, C₁-C₄-alkoxy, 1,3-dioxapropane-1,3-diyl, 1,4-dioxabutane-1,4-diyl, oxo, C₃-C₆-cycloalkyl, hydroxy, halogen, C₁-C₄-alkylcarbonyl, C₃-C₆-cycloalkylcarbonyl, phenylcarbonyl, C₁-C₄-alkoxycarbonyl, phenyl and 5- to 6-membered heteroaryl,

where phenyl is optionally substituted by radicals independently of one another selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C₁-C₄-alkyl, C₁-C₄-alkoxy and C₁-C₄-alkylsulfonamino, and

C₁-C₄-alkyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and phenyl, and

C₁-C₄-alkylcarbonyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and C₁-C₄-alkoxy,

5 or

a radical of the formula CO-R⁹ in which

10 R⁹ is C₁-C₄-alkyl, C₃-C₈-cycloalkyl, phenyl or 5- to 6-membered heteroaryl, which are optionally substituted by radicals selected from the group of hydroxy, hydroxycarbonyl, halogen, cyano, acetamido, C₁-C₄-alkyl, C₁-C₄-alkoxy, C₃-C₆-cycloalkyl, C₁-C₄-alkylcarbonyl, phenyl and 5- to 6-membered heteroaryl,

15

R¹⁰ is hydrogen or C₁-C₄-alkyl,

and the salts, solvates and solvates of the salts thereof.

20 3. A compound of the formula (I) in which

R¹ is phenyl which is optionally substituted by radicals selected from the group of fluorine, chlorine, bromine, cyano, trifluoromethyl,

25 R² is phenyl which is optionally substituted by fluorine,

R³ is hydrogen or C₁-C₄-alkyl,

R⁴ is hydrogen or C₁-C₄-alkyl which is optionally substituted by hydroxy

30

R⁵ is hydrogen,

or

a radical of the formula $\text{CO-NR}^6\text{R}^7$ in which

5

R^6 is hydrogen, $\text{C}_1\text{-C}_4\text{-alkyl}$,

10

R^7 is $\text{C}_1\text{-C}_4\text{-alkyl}$, $\text{C}_3\text{-C}_6\text{-cycloalkyl}$, benzyl, phenethyl or phenyl, where $\text{C}_1\text{-C}_4\text{-alkyl}$, $\text{C}_3\text{-C}_6\text{-cycloalkyl}$, and phenyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, fluorine, chlorine, aminocarbonyl, hydroxycarbonyl, cyano, dimethylamino, methoxy, ethoxy, $\text{C}_1\text{-C}_4\text{-alkoxycarbonyl}$ or thienyl, and

15

benzyl and phenethyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, fluorine, chlorine, aminocarbonyl, cyano, dimethylamino, methoxy, ethoxy or thienyl,

20

or in which

the group NR^6R^7

25

is a 5- to 6-membered heterocyclyl radical which is linked via the nitrogen atom and which is optionally substituted by radicals independently of one another selected from the group of $\text{C}_1\text{-C}_4\text{-alkyl}$, 1,3-dioxapropane-1,3-diyl, 1,4-dioxabutane-1,4-diyl, oxo, hydroxy, $\text{C}_1\text{-C}_4\text{-alkylcarbonyl}$, $\text{C}_3\text{-C}_6\text{-cycloalkylcarbonyl}$, phenylcarbonyl, $\text{C}_1\text{-C}_4\text{-alkoxycarbonyl}$, phenyl and 6-membered heteroaryl,

30

where phenyl is optionally substituted by radicals independently of one another selected from the group of fluorine, chlorine, cyano, trifluoromethyl, trifluoromethoxy, C₁-C₄-alkyl, C₁-C₄-alkoxy and C₁-C₄-alkylsulfonamino, and

C₁-C₄-alkyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and phenyl, and

C₁-C₄-alkylcarbonyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and methoxy,

or

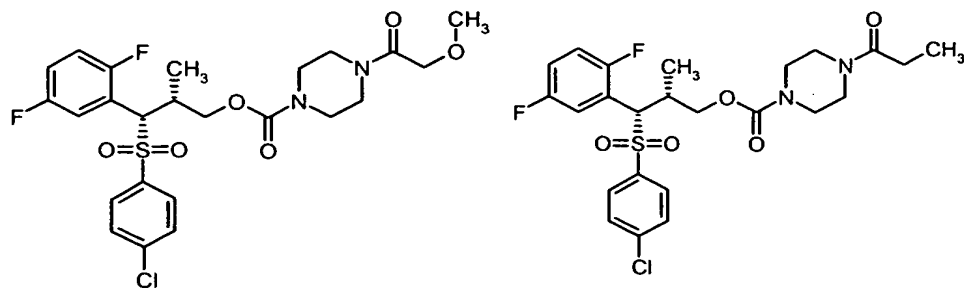
a radical of the formula CO-R⁹ in which

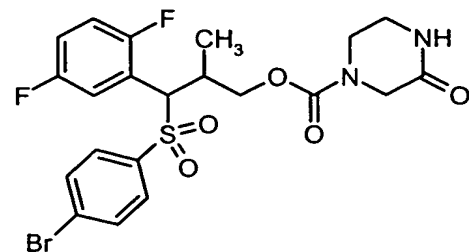
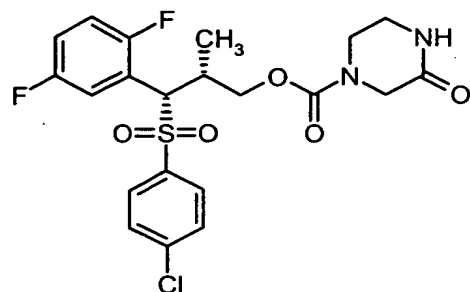
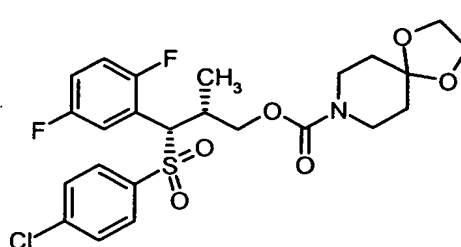
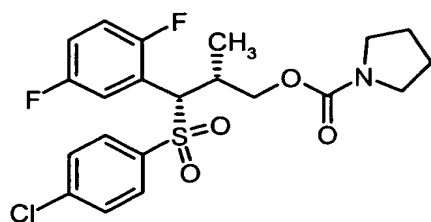
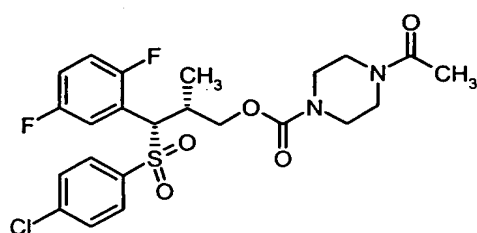
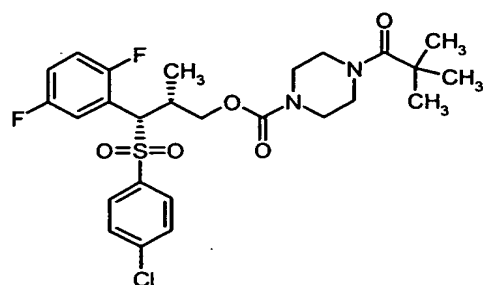
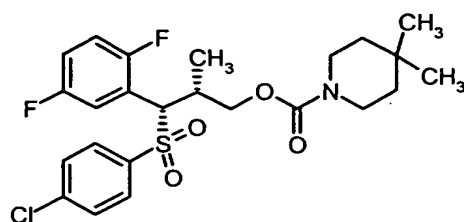
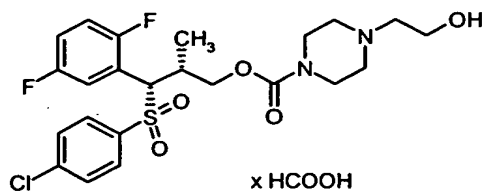
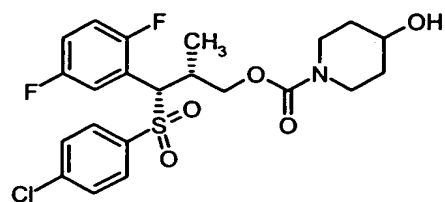
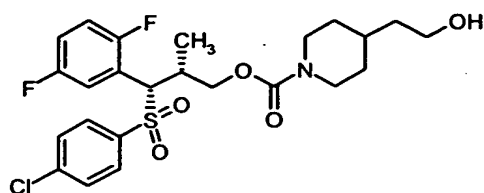
R⁹ is phenyl,

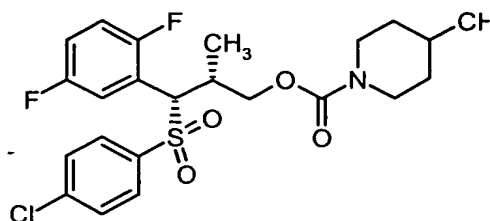
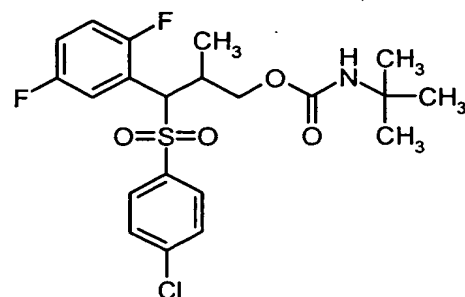
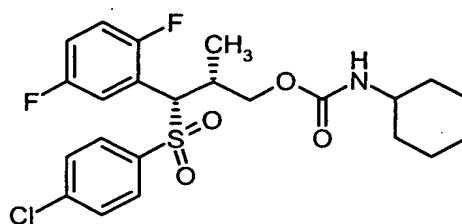
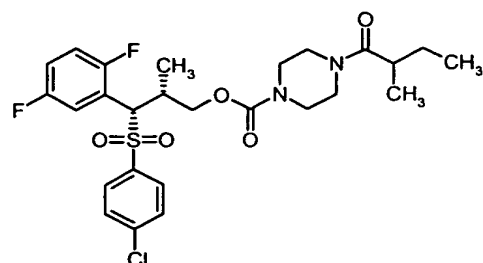
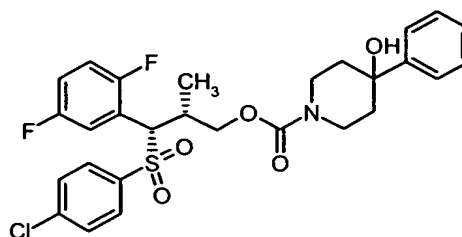
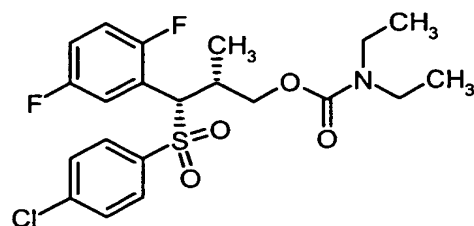
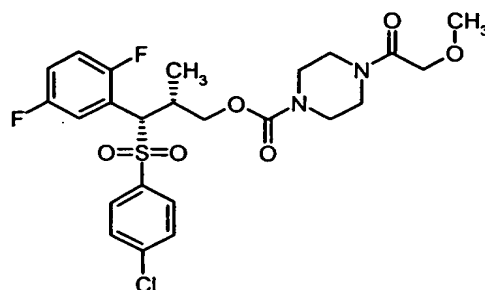
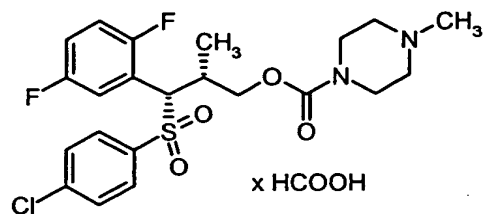
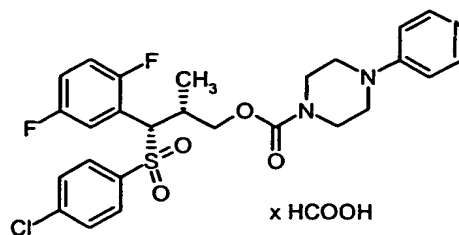
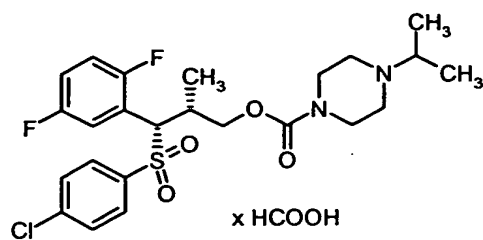
R¹⁰ is hydrogen or C₁-C₃-alkyl,

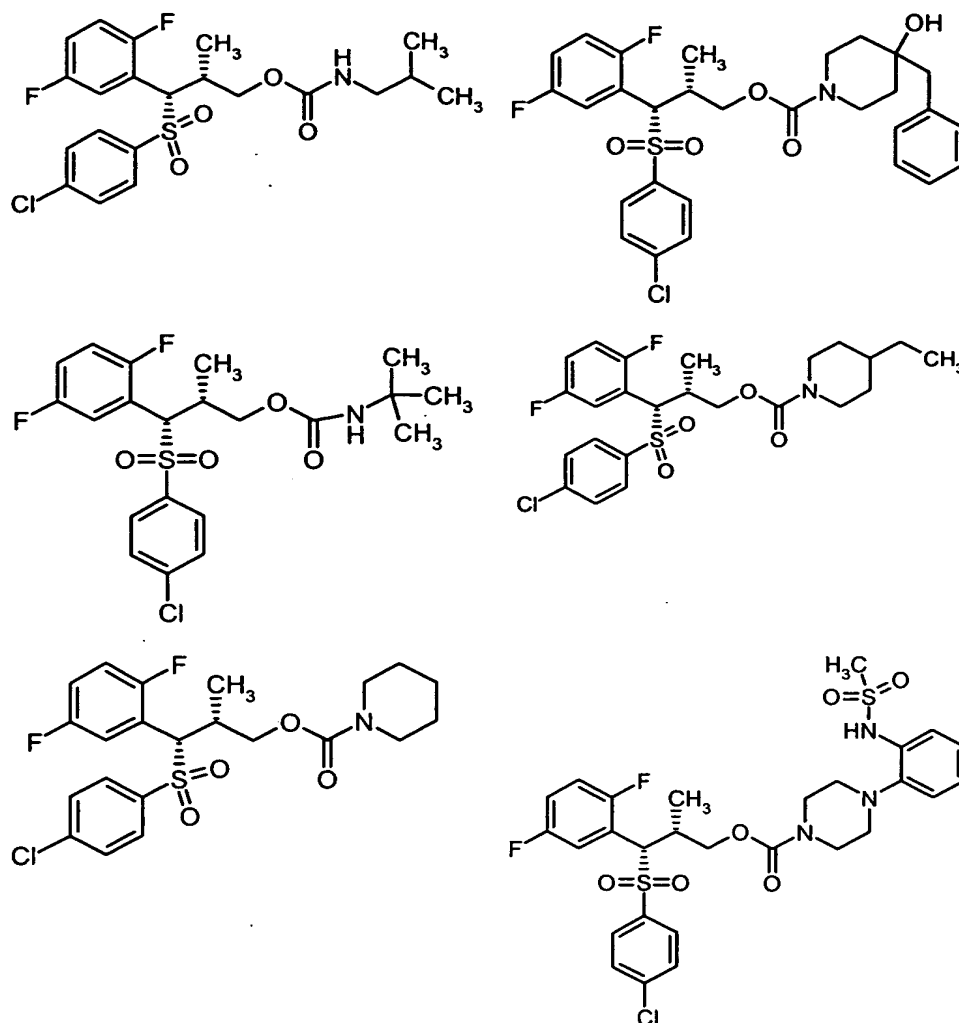
and the salts, solvates and solvates of the salts thereof.

4. A compound as claimed in claim 1, of the following formula





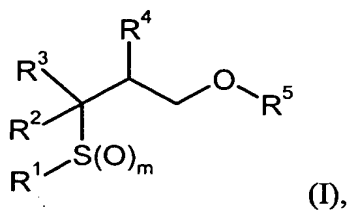




and the salts, solvates and solvates of the salts thereof.

5. A compound as claimed in claim 1, of the formula

5



in which

R^1 and R^2 are independently of one another phenyl, which is optionally substituted by radicals selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -alkoxy and C_1 - C_6 -alkylthio,

5

R^3 and R^4 are independently of one another hydrogen, C_1 - C_6 -alkyl or C_3 - C_8 -cycloalkyl,

m is 1 or 2,

10

and

R^5 is hydrogen,

15

is a radical of the formula $CO-NR^6R^7$

in which R^6 and R^7 are independently of one another hydrogen, C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl, phenyl or 5- to 6-membered heteroaryl, or

20

in which the group NR^6R^7 is a 4- to 10-membered heterocyclyl radical which is linked via a nitrogen atom,

25

where alkyl, cycloalkyl, phenyl, heteroaryl and heterocyclyl are optionally substituted by radicals selected from the group of hydroxy, halogen, aminosulfonyl, carboxamido, cyano, formamido, acetamido, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_3 - C_8 -cycloalkyl, C_1 - C_6 -alkanoyl, phenyl and 5- to 6-membered heteroaryl, and where heterocyclyl is optionally benzo-substituted,

30

is a radical of the formula $CO-OR^8$

in which R^8 is C_1 - C_6 -alkyl or C_3 - C_8 -cycloalkyl,

where alkyl and cycloalkyl are optionally substituted by radicals selected from the group of hydroxy, halogen, aminosulfonyl, carboxamido, cyano, formamido, acetamido, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_3 - C_8 -cycloalkyl, C_1 - C_6 -alkanoyl, phenyl and 5- to 6-membered heteroaryl,

or

is a radical of the formula $CO-R^9$,

in which R^9 is C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl, C_6 - C_{10} -aryl or 5- to 10-membered heteroaryl,

where alkyl, cycloalkyl, aryl and heteroaryl are optionally substituted by radicals selected from the group of hydroxy, halogen, aminosulfonyl, carboxamido, cyano, formamido, acetamido, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_3 - C_8 -cycloalkyl, C_1 - C_6 -alkanoyl, phenyl and 5- to 6-membered heteroaryl,

and the salts, solvates and solvates of the salts thereof.

6. A compound as claimed in claim 1, where

R^1 is 2-fluorophenyl which is optionally additionally substituted once to twice by radicals selected from the group of fluorine, chlorine, cyano, trifluoromethyl, methyl and ethyl,

R^2 is 4-chlorophenyl which is optionally additionally substituted once to twice by radicals selected from the group of fluorine, chlorine, cyano, trifluoromethyl, methyl and ethyl,

5 R^3 is hydrogen,

R^4 is hydrogen or C_1 - C_4 -alkyl,

10 m is 1 or 2,

and

R^5 is a radical of the formula $CO-NR^6R^7$,

15 in which R^6 and R^7 are independently of one another hydrogen, C_1 - C_6 -alkyl, C_3 - C_8 -cycloalkyl or benzyl,

or

20 in which the group NR^6R^7
is pyrrolidin-1-yl, piperidin-1-yl, morpholin-1-yl, thiomorpholin-1-yl, piperazin-1-yl, 4-methylpiperazin-1-yl or 4-ethylpiperazin-1-yl,

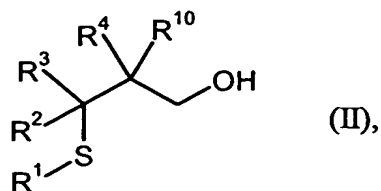
and the salts, solvates and solvates of the salts thereof.

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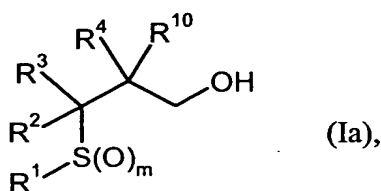
7. A process for preparing compounds as claimed in claim 1, of the formula (I), characterized in that

30 [A] compounds of the formula

- 160 -

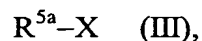


in which R^1 to R^4 and R^{10} have the meanings indicated in claim 1, are first converted with appropriate equivalents of a suitable oxidizing agent such as, for example, peroxides or peracids, preferably meta-chloroperbenzoic acid (mCPBA) into compounds of the formula



in which R^1 to R^4 , R^{10} and m have the meanings indicated in claim 1,

and the latter are then reacted in an acylation step, where appropriate in the presence of a base, with a compound of the formula



in which

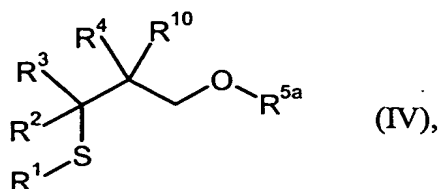
R^{5a} has the meanings indicated above for R^5 with the exception of hydrogen,

and

X is a suitable leaving group such as, for example, halogen,

or

[B] compounds of the formula (II) are first converted with a compound of the formula (III), where appropriate in the presence of a base, into compounds of the formula



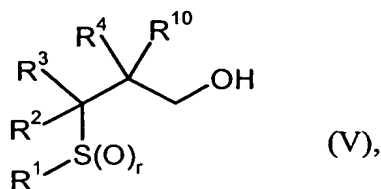
in which

R^1 to R^4 , R^{5a} and R^{10} have the meanings indicated above and in claim 1,

and the latter are then reacted with appropriate equivalents of a suitable oxidizing agent, preferably meta-chloroperbenzoic acid,

or

[C] compounds of the formula



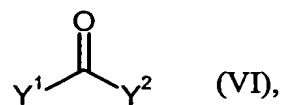
in which

R^1 to R^4 and R^{10} have the meanings indicated in claim 1,

and

r is zero, 1 or 2,

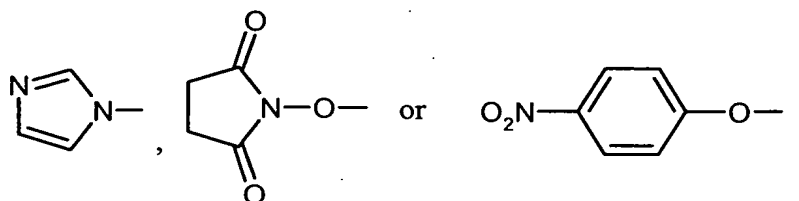
5 are first reacted, where appropriate in the presence of a base, with a compound of the formula



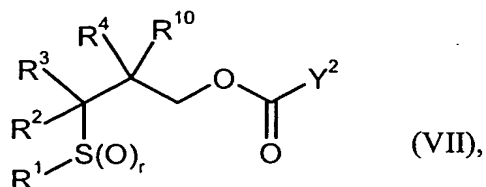
10 in which

Y^1 and Y^2 are identical or different and are a suitable leaving group such as, for example, halogen, $-\text{OCCl}_3$ or a group of the formula

15



to give compounds of the formula

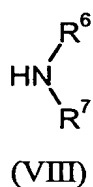


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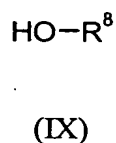
in which

R^1 to R^4 , R^{10} , r and Y^2 have the meanings indicated above and in claim 1,

the latter are then, where appropriate in the presence of a base and/or of a suitable catalyst, converted with a compound of the formulae



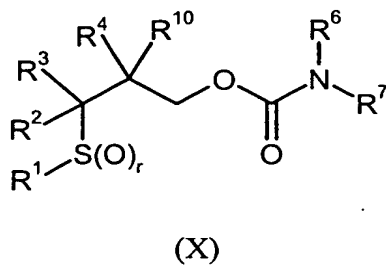
or



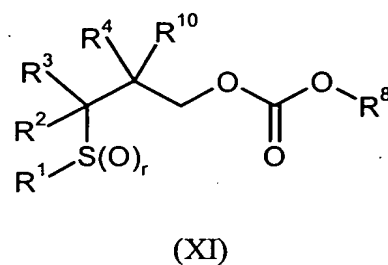
in which

R^6 , R^7 and R^8 have the meanings indicated above,

into compounds of the formulae



or



in which

R^1 to R^4 , R^6 to R^8 , R^{10} and r have the meanings indicated above and in claim 1,

and the latter are then, where r is zero, reacted with appropriate equivalents of a suitable oxidizing agent, preferably meta-chloroperbenzoic acid,

5 and the resulting compounds (I) and (Ia) are converted where appropriate with the appropriate solvents and/or bases or acids into their solvates, salts and/or solvates of the salts.

10 8. A compound as claimed in claim 1 for the treatment and/or prophylaxis of diseases.

9. A medicament comprising at least one compound as claimed in claim 1 in combination with at least one pharmaceutically acceptable, pharmaceutically acceptable carrier or excipient.

15 10. The use of compounds as claimed in claim 1 for producing a medicament for the treatment and/or prophylaxis of Alzheimer's disease.

20 11. The medicament as claimed in claim 9 for the treatment and/or prophylaxis of Alzheimer's disease.

12. A method for controlling Alzheimer's disease in humans and animals by administering an effective amount of at least one compound as claimed in claim 1.